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Meese

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(54) **STABLE SALTS OF NOVEL DERIVATIVES
OF 3,3-DIPHENYLPROPYLAMINES**

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A01N 37/44; A61K 31/215; A61N 31/24

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(58) **Field of Search** 514/530, 531,
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(57) **ABSTRACT**

The present invention concerns highly pure, crystalline, stable compounds of novel derivatives of 3,3-diphenylpropylamines in the form of their salts, a method for the manufacture and highly pure, stable intermediate products.

The method is in particular characterized by regio- and chemoselectivity and high yield. Salts of phenolic monoesters of 3,3-diphenylpropylamines are provided, that are particularly well-suited for use in pharmaceutical formulations. Preferred compounds are R-(+)-2-(3-diisopropylamino-1-phenyl-propyl)-4-hydroxymethylphenylisobutyrate ester hydrogen fumarate and R-(+)-2-(3-diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenylisobutyrate ester hydrochloride hydrate. Furthermore, stable, crystalline intermediate products that are essential for obtaining the abovementioned salts are provided. A preferred intermediate product is R-(-)-3-(3-diisopropylamino-phenyl-propyl)-4-hydroxy-benzoic acid methyl ester.

24 Claims, 1 Drawing Sheet

Reaction diagram 1

(i), (ii), (iii), (iv), (v) stand for: (i), LiAlH₄; (ii), Raney nickel/H₂; (iii), Me₂CH-COCl, Et₃N; (iv), fumaric acid, (v), hydrochloric acid; R stands for isopropyl (iPr)

